

# STIC Search Report Biotech-Chem Library

# STIC Database Tracking Number: 115796

TO: Rei-Tsang Shiao Location: 5a10 / 5c18

Wednesday, March 10, 2004

Art Unit: 1626 Phone: 272-0707

Serial Number: 09 / 766547

From: Jan Delaval

**Location: Biotech-Chem Library** 

**Rem 1A51** 

Phone: 272-2504

jan.delaval@uspto.gov

Search Notes		**		
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Scientific and Technical Information Center
Requester's Full Name: Report Restrict Shid Examiner =: 752 Date: 3/1/04  An Unit: 1626 Phone Number 2-090 Serial Number: 09-3665-49  Mail Box and Bldg-Room Location: 5410 Results Format Preferred (circle) PAPER DISK E-MAIL
If more than one search is submitted, please prioritize searches in order of need.
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched.  Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. if known Please attach a copy of the cover sheet, pertinent claims, and abstract.
Title of Invention: This yell, Mandgal and Regula
Inventors (please provide full names): Wigle et al
Earliest Priority Filing Date:
*For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the
I. send to Methods of all of cpd I or z
Ra, Rb, Rc are sub  Tis 0, s.  Rc is 0, alkyl, Ar,
NHC-(CHz)n-D-ReR+
Re is forth I
I send methods of use of the corpores of claim 6. for breaky date, tooday dauge.  Nypertusion,
STAFF USE ONLY  Type of Search  Vendors and cost where applicable  NA Sequence (#) STN
Searcher Phone = 1720+ AA Sequence (#)

AA Sequence (#)\_

Litigation

Fulltext

Structure (#)

Bibliographic \_\_\_\_\_

Necuence Systems

Searcher Location

Our Server Prover - 3000

Date impress: 3000

Searcher Pred & Reliew Time

#### => d his

L41

L42

1 S L40 NOT BR/ELS 3991 S L32 AND C6-C6/ES

(FILE 'HOME' ENTERED AT 13:37:31 ON 10 MAR 2004) SET COST OFF FILE 'HCAPLUS' ENTERED AT 13:38:00 ON 10 MAR 2004 1 S US20020022622/PN L1 E WAGLE D/AU 181 S E3-E7, E10-E12 L2 E VASAN S/AU 43 S E3-E9 L3 E EGAN J/AU 106 S E3, E11, E12 L4E EGAN JOHN/AU 66 S E3,E9-E11 L5 E ALTEON/PA,CS L6 63 S E3-E10 L735 S L2-L5 AND L6 L8 17 S L7 AND HETER?/SC,SX 1 S L1 AND L8 L9 16 S L8 NOT L9 L10 SEL RN L9 FILE 'REGISTRY' ENTERED AT 13:40:22 ON 10 MAR 2004 L11 11 S E1-E11 10 S L11 AND NR>=1 L122 S L12 AND (C19H14N2O2S OR C13H14N2O2S) L13 FILE 'HCAPLUS' ENTERED AT 13:43:54 ON 10 MAR 2004 SET SMARTSELECT ON SEL L10 1- RN : 447 TERMS L14 SET SMARTSELECT OFF FILE 'REGISTRY' ENTERED AT 13:43:55 ON 10 MAR 2004 447 S L14 L15 L16 158 S L15 AND NCSC2/ES 34 S L16 AND 1/NR L17 1 S L17 AND CL/ELS L18 33 S L17 NOT L18 L19 10 S L19 NOT IUM L20 L21 3 S L20 AND (C7H11NS OR C6H9NS OR C3H3NS) 89 S L16 AND C6/ES L22 10 S L22 NOT IUM L23 1 S L23 AND F/ELS L24 650 S NCSC2/ES AND 46.150.18/RID AND 2/NR AND 2/N AND 1/F AND 1/S A L25 L26 162 S L25 NOT O/ELS L27 17 S L26 AND 9/C 15 S L27 AND 4 L28 8 S L28 AND 4 FLUOROPHENYL L29 L30 5 S L29 NOT CL/ELS SEL RN 1 5 L31 2 S E12-E13 160825 S NCSC2-C6/ES L32 2063 S L32 AND 2/NR AND 1/CL AND 1/NC L33 L34 862 S L33 AND 2/N 196 S L34 NOT O/ELS L35 L36 114 S L35 AND 1/S 102 S L36 NOT F/ELS L37 21 S L37 AND 7/C L38 L39 5 S L38 AND 4 CHLORO L40 2 S L39 AND 2

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388 S L42 AND DIMETHYL
             26 S L43 AND ONE
L44
             18 S L44 AND 4/NR
L45
              8 S L45 AND 2/N
L46
              6 S L46 AND 1/NC
L47
              5 S L47 AND 1/0
L48
              2 S L48 AND 19/C
L49
              1 S 109317-64-8
L50
              0 S L43 AND TRIHYDRO
L51
              4 S L42 AND TRIHYDRO
L52
           1269 S L42 AND 4/NR
L53
            107 S L53 AND L43
L54
             52 S L54 AND 2/N
L55
             47 S L55 NOT L48
L56
             30 S L56 NOT IUM
L57
              9 S L13, L21, L41, L31, L50
L58
L59
              3 S L30 NOT L31
             12 S L58, L59
L60
                SEL RN
L61
             68 S E14-E25/CRN
             19 S L61 NOT (MXS/CI OR COMPD OR WITH)
L62
             17 S L62 NOT PMS/CI
L63
             16 S L63 NOT CONJUGATE
L64
     FILE 'HCAPLUS' ENTERED AT 14:36:18 ON 10 MAR 2004
L65
           2744 S L60 OR L64
L66
             5 S L1-L6 AND L65
              5 S L1, L66
L67
                E AGING/CT
L68
          38222 S E3
L69
          24980 S E19-E24
                E E19+ALL
L70
          33749 S E4,E5
          36458 S E3+NT
L71
                E E25+ALL
L72
           4936 S E1
                E E6+ALL
L73
          67222 S E4, E3+NT
L74
              5 S L65 AND L68-L73
                SEL DN AN 1 3 5
L75
              3 S E1-E9
L76
              6 S L67, L75 AND L1-L10, L65-L75
L77
             44 S (L60 OR L64) (L) THU/RL
            109 S (L60 OR L64) (L) (ADV OR BAC OR DMA OR PAC OR PKT)/RL
L78
L79
            398 S L65 AND (PHARMACEUT? OR PHARMACOL? OR PATHOL?)/SC,SX
L80
            473 S L77-L79
L81
              5 S L80 AND (AGING OR AGEING)
L82
              4 S L81 NOT 30/SC
L83
              6 S L76, L82
     FILE 'REGISTRY' ENTERED AT 14:44:11 ON 10 MAR 2004
     FILE 'HCAPLUS' ENTERED AT 14:45:32 ON 10 MAR 2004
     FILE 'REGISTRY' ENTERED AT 14:45:37 ON 10 MAR 2004
                SEL RN L60 7 8 9 12
L84
              8 S L60 NOT E10-E13
     FILE 'HCAPLUS' ENTERED AT 14:46:38 ON 10 MAR 2004
L85
             34 S L84
L86
             18 S L85 AND L80
             13 S L86 NOT ?FUNG?
L87
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10 S L87 NOT L83

L88

=> fil reg FILE 'REGISTRY' ENTERED AT 14:48:17 ON 10 MAR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 MAR 2004 HIGHEST RN 660815-69-0 DICTIONARY FILE UPDATES: 9 MAR 2004 HIGHEST RN 660815-69-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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L60 ANSWER 1 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 441285-73-0 REGISTRY

CN 2-Thiazolidinamine, N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C9 H11 F N2 S

SR CA

LC STN Files: CA, CAPLUS

Jon claim 6 Dage 50

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:93744

L60 ANSWER 2 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 302559-76-8 REGISTRY

CN Acetamide, 2-(3,5-dimethylphenoxy)-N-2-thiazolyl- (9CI) (CA INDEX NAME) OTHER NAMES:

CN 2-(3,5-Dimethylphenoxy)-N-(thiazol-2-yl)acetamide

FS 3D CONCORD

MF C13 H14 N2 O2 S

SR Chemical Library

LC STN Files: CA, CAPLUS, CHEMCATS, USPAT2, USPATFULL

$$\begin{array}{c|c}
N & \text{NH} - C - CH_2 - O
\end{array}$$
Me
Me

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:93744

REFERENCE 2: 137:93743

REFERENCE 3: 135:122497

L60 ANSWER 3 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 289491-05-0 REGISTRY

CN 2-Furancarboxamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C19 H14 N2 O2 S

SR Chemical Library

LC STN Files: CA, CAPLUS, CHEMCATS, USPAT2, USPATFULL

$$\begin{array}{c|c} & & & \\ &$$

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:93744

REFERENCE 2: 137:93743

REFERENCE 3: 135:122497

L60 ANSWER 4 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 137935-26-3 REGISTRY

CN 4-Thiazolamine, N-(4-fluorophenyl)-4,5-dihydro- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C9 H9 F N2 S

SR CA

LC STN Files: CA, CAPLUS

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:6459

L60 ANSWER 5 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 109317-64-8 REGISTRY

CN 7(4H)-Benzothiazolone, 5,6-dihydro-5,5-dimethyl-2-(2-naphthalenylamino)-(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C19 H18 N2 O S

CI COM

SR CA

LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT, CHEMCATS (\*File contains numerically searchable property data)

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:93744

REFERENCE 2: 107:58918

L60 ANSWER 6 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 77815-14-6 REGISTRY

CN 2-Thiazolamine, 4-(4-fluorophenyl) - (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-Amino-4-(4-fluorophenyl) thiazole

FS 3D CONCORD

MF C9 H7 F N2 S

CI COM

LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, SPECINFO, TOXCENTER, USPATFULL

(\*File contains numerically searchable property data)

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 21 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 22 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:149652

REFERENCE 2: 137:310439

REFERENCE 3: 133:252829

REFERENCE 4: 131:322593

REFERENCE 5: 131:139512

REFERENCE 6: 128:312900

REFERENCE 7: 127:5225

REFERENCE 8: 126:89392

REFERENCE 9: 122:105732

REFERENCE 10: 118:38821

L60 ANSWER 7 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 19952-47-7 REGISTRY

CN 2-Benzothiazolamine, 4-chloro- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzothiazole, 2-amino-4-chloro- (6CI, 8CI)

OTHER NAMES:

CN 2-Amino-4-chlorobenzothiazole

CN 4-Chloro-1,3-benzothiazol-2-ylamine

CN 4-Chloro-2-benzothiazolamine

FS 3D CONCORD

MF C7 H5 C1 N2 S

CI COM

LC STN Files: ANABSTR, BEILSTEIN\*, BIOSIS, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMLIST, CSCHEM, HODOC\*, IFICDB, IFIPAT, IFIUDB, RTECS\*, SPECINFO, TOXCENTER, USPAT2, USPATFULL

(\*File contains numerically searchable property data)

Other Sources: EINECS\*\*, NDSL\*\*, TSCA\*\*

(\*\*Enter CHEMLIST File for up-to-date regulatory information)

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 146 REFERENCES IN FILE CA (1907 TO DATE)
- 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 146 REFERENCES IN FILE CAPLUS (1907 TO DATE)
  - 2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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REFERENCE
           1: 140:111335
           2: 139:395854
REFERENCE
           3: 139:133557
REFERENCE
REFERENCE
           4: 139:48450
           5: 139:32012
REFERENCE
REFERENCE
           6:
               138:368620
REFERENCE 7: 138:99909
REFERENCE
           8: 137:118555
REFERENCE
           9: 137:93763
REFERENCE 10: 137:93744
```

L60 ANSWER 8 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN RN 18640-74-9 REGISTRY
CN Thiazole, 2-(2-methylpropyl)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Thiazole, 2-isobutyl- (8CI)
OTHER NAMES:
CN 2-(2-Methylpropyl)thiazole
CN 2-Isobutyl-1,3-thiazole

CN 2-Isobutyl-1,3-thiazole
CN 2-Isobutylthiazole
CN NSC 290430
FS 3D CONCORD
MF C7 H11 N S

CI COM

LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN\*, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT, CHEMCATS, CHEMLIST, CIN, CSCHEM, HODOC\*, IFICDB, IFIPAT, IFIUDB, MEDLINE, MSDS-OHS, SPECINFO, TOXCENTER, USPATFULL (\*File contains numerically searchable property data)
Other Sources: DSL\*\*, EINECS\*\*, TSCA\*\*

(\*\*Enter CHEMLIST File for up-to-date regulatory information)

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

112 REFERENCES IN FILE CA (1907 TO DATE)
112 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:162588

REFERENCE 2: 140:127361

REFERENCE 3: 140:24222

REFERENCE 4: 139:364960

REFERENCE 5: 139:363842

REFERENCE 6: 139:349826

REFERENCE 7: 139:261206

REFERENCE 8: 139:229658

REFERENCE 9: 139:229546

REFERENCE 10: 139:51951

L60 ANSWER 9 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 13623-11-5 REGISTRY

CN Thiazole, trimethyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Thiazole, 2,4,5-trimethyl- (6CI, 7CI, 8CI)

OTHER NAMES:

CN 2,4,5-Trimethylthiazole

CN NSC 170614

CN Trimethylthiazole

FS 3D CONCORD

MF C6 H9 N S

CI COM

LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN\*, BIOBUSINESS, BIOSIS, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMLIST, CSCHEM, IFICDB, IFIPAT, IFIUDB, MEDLINE, NAPRALERT, SPECINFO, TOXCENTER, USPATFULL (\*File contains numerically searchable property data)

Other Sources: DSL\*\*, EINECS\*\*, TSCA\*\*

(\*\*Enter CHEMLIST File for up-to-date regulatory information)

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

149 REFERENCES IN FILE CA (1907 TO DATE)

149 REFERENCES IN FILE CAPLUS (1907 TO DATE)

8 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 139:6779

REFERENCE 2: 138:401896

REFERENCE 3: 138:390526

REFERENCE 4: 137:93744

REFERENCE 5: 137:78191

REFERENCE 6: 137:14996

REFERENCE 7: 136:290698

REFERENCE 8: 136:246626

REFERENCE 9: 136:52910

REFERENCE 10: 135:150221

L60 ANSWER 10 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 774-50-5 REGISTRY

CN 2-Thiazolamine, 5-(4-fluorophenyl) - (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Thiazole, 2-amino-5-(p-fluorophenyl)- (7CI, 8CI)

FS 3D CONCORD

MF C9 H7 F N2 S

CI COM

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS, CASREACT, TOXCENTER (\*File contains numerically searchable property data)

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 101:54977

REFERENCE 2: 94:121514

REFERENCE 3: 92:128793

REFERENCE 4: 57:10831

L60 ANSWER 11 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 457-59-0 REGISTRY

CN 2-Thiazolamine, N-(4-fluorophenyl)-4,5-dihydro- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2-Thiazoline, 2-(p-fluoroanilino)- (8CI)

FS 3D CONCORD

MF C9 H9 F N2 S

CI COM

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS, CHEMCATS

(\*File contains numerically searchable property data)

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 3 REFERENCES IN FILE CA (1907 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

# 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 139:209215

REFERENCE 2: 134:162957

REFERENCE 3: 128:189475

L60 ANSWER 12 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN

RN 288-47-1 REGISTRY

CN Thiazole (6CI, 8CI, 9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C3 H3 N S

CI COM, RPS

LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DETHERM\*, DIOGENES, DRUGU, EMBASE, GMELIN\*, HODOC\*, IFICDB, IFIPAT, IFIUDB, IPA, MRCK\*, NAPRALERT, NIOSHTIC, PIRA, PROMT, RTECS\*, SPECINFO, SYNTHLINE, TOXCENTER, TULSA, USPAT2, USPATFULL, VTB

(\*File contains numerically searchable property data)

Other Sources: DSL\*\*, EINECS\*\*, TSCA\*\*

(\*\*Enter CHEMLIST File for up-to-date regulatory information)



# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2365 REFERENCES IN FILE CA (1907 TO DATE)

415 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

2367 REFERENCES IN FILE CAPLUS (1907 TO DATE)

37 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 140:145601

REFERENCE 2: 140:136181

REFERENCE 3: 140:128286

REFERENCE 4: 140:111367

REFERENCE 5: 140:104451

REFERENCE 6: 140:97781

REFERENCE 7: 140:94092

REFERENCE 8: 140:93825

REFERENCE 9: 140:79851

REFERENCE 10: 140:42043

# => fil hcaplus

FILE 'HCAPLUS' ENTERED AT 14:48:32 ON 10 MAR 2004
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 10 Mar 2004 VOL 140 ISS 11 FILE LAST UPDATED: 9 Mar 2004 (20040309/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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US 2001-38116

MARPAT 137:93744

OS

A1

20011231

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ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
L83
       2002:521496 HCAPLUS
AN
DN
       137:93744
ED
       Entered STN: 12 Jul 2002
       Preparation of thiazole derivatives for the treatment of fibrotic diseases
ΤI
      Wagle, Dilip; Martin, Gail; Bell, Stanely C.; Lavoie, Edmond J.
IN
PA
      Alteon, Inc., USA
      PCT Int. Appl., 56 pp.
SO
      CODEN: PIXXD2
DT
       Patent
LA
      English
IC
       ICM A61K031-541
       ICS A61K031-5377; A61K031-496; A61K031-454; A61K031-421; A61K031-426
CC
       28-7 (Heterocyclic Compounds (More Than One Hetero
      Section cross-reference(s): 1, 63
FAN.CNT 2
      PATENT NO.
                              KIND DATE
                                                           APPLICATION NO.
                                                                                 DATE
                             _ _ _ _
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PΙ
      WO 2002053161
                              A1
                                      20020711
                                                          WO 2001-US50822 20011228
            W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
           RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      EP 1353676
                               A1
                                      20031022
                                                          EP 2001-991611 20011228
                 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      US 2002183317
                                                          US 2001-38116
                               A1
                                      20021205
                                                                                  20011231
      US 6596744
                               B2
                                      20030722
      US 2003225146
                                                           US 2003-440896
                               A1
                                      20031204
                                                                                  20030519
PRAI US 2000-259107P
                               Р
                                      20001229
      US 2001-259239P
                               Ρ
                                      20010102
      US 2001-296247P
                               Ρ
                                      20010606
      WO 2001-US50822
                               W
                                      20011228
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AB Provided is a method of decreasing intraocular pressure or improving ocular accommodation, comprising administration of I, II [J = 0, S, NR'; Ra-b = H, acylamino, acyloxyalkyl, alkanoyl, alkenyl, alkoxy, etc.; R' = alkyl, alkenyl, H, Ar; Rc = oxo, H, alkyl, alkylthio, H, mercapto, amino, amino-alkyl, etc.]. For instance, 3,5-dimethylphenol was alkylated with bromoacetic acid (110°, 4 h) to yield (3,5-dimethylphenoxy)acetic acid which was coupled to 2-aminothiazole (CH2Cl2, EDCI, HOBt, NMM) to give 2-(3,5-Dimethylphenoxy)-N-(thiazol-2-yl)acetamide. The activity of example compds. in breaking, reversing or inhibiting the formation of advanced glycosylation end products (AGEs) or AGE-mediated cross-links was determined (no data).

ST fibrotic disease thiazole prepn

IT Fibrosis

IT

Human

(preparation of thiazole derivs. for treatment of fibrotic diseases)

288-47-1P, Thiazole 13623-11-5P, 2,4,5-Trimethylthiazole

18640-74-9P, 2-Isobutylthiazole 19952-47-7P,

2-Amino-4-chlorobenzothiazole 109317-64-8P 181070-25-7P,

2,6-Diaminobenzothiazole dihydrochloride 289491-05-0P

302559-76-8P, 2-(3,5-Dimethylphenoxy)-N-(thiazol-2-yl)acetamide

441285-73-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug; preparation of thiazole derivs. for treatment of fibrotic diseases) 73326-20-2P, 2-(2-Bromoacetamido)thiazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of thiazole derivs. for treatment of fibrotic diseases)

TT 79-08-3, Bromoacetic acid 88-14-2, 2-Furoic acid 92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole 96-50-4, 2-Aminothiazole 108-68-9, 3,5-Dimethylphenol 527-69-5, 2-Furoyl chloride 598-21-0, Bromoacetyl bromide 6285-57-0, 2-Amino-6-nitrobenzothiazole RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of thiazole derivs. for treatment of fibrotic diseases)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

- (1) Cerami; US 6007865 A 1999 HCAPLUS
- (2) Wagle; US 6121300 A 2000 HCAPLUS

IT 288-47-1P, Thiazole 13623-11-5P, 2,4,5-Trimethylthiazole 18640-74-9P, 2-Isobutylthiazole 19952-47-7P, 2-Amino-4-chlorobenzothiazole 109317-64-8P 289491-05-0P 302559-76-8P, 2-(3,5-Dimethylphenoxy)-N-(thiazol-2-yl)acetamide 441285-73-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of thiazole derivs. for treatment of fibrotic diseases) RN 288-47-1 HCAPLUS

CN Thiazole (6CI, 8CI, 9CI) (CA INDEX NAME)

RN 13623-11-5 HCAPLUS

CN Thiazole, trimethyl- (9CI) (CA INDEX NAME)

RN 18640-74-9 HCAPLUS

CN Thiazole, 2-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 19952-47-7 HCAPLUS

CN 2-Benzothiazolamine, 4-chloro- (9CI) (CA INDEX NAME)

RN 109317-64-8 HCAPLUS

CN 7(4H)-Benzothiazolone, 5,6-dihydro-5,5-dimethyl-2-(2-naphthalenylamino)-(9CI) (CA INDEX NAME)

RN 289491-05-0 HCAPLUS

CN 2-Furancarboxamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CAINDEX NAME)

RN 302559-76-8 HCAPLUS

CN Acetamide, 2-(3,5-dimethylphenoxy)-N-2-thiazolyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & \text{Me} \\
\hline
N & \text{NH} - C - CH_2 - O
\end{array}$$
Me

RN 441285-73-0 HCAPLUS

CN 2-Thiazolidinamine, N-(4-fluorophenyl) - (9CI) (CA INDEX NAME)

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L83 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
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AN 2002:521487 HCAPLUS

DN 137:93743

ED Entered STN: 12 Jul 2002

TI Preparation of thiazole derivatives as antiglaucoma agents

IN Wagle, Dilip; Gall, Martin; Bell, Stanley C.; Lavoie, Edmond J.

PA Alteon, Inc., USA

SO PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K031-425

CC 28-7 (Heterocyclic Compounds (More Than One Hetero

Section cross-reference(s): 1, 63

FAN.CNT 1

	PAT	CENT 1	NO.		KI	ND 1	DATE			A)	PPLI	CATI	ON NO	o. 1	DATE				
ΡI	WO 2002053156			A1 20020711				WO 2001-US49834 20011228											
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PL,	PT,	
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	ŪĠ,	UZ,	
			VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM				
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	
			BF.	B.T.	CF.	CG.	CI.	CM.	GA.	GN.	GO.	GW.	ML.	MR.	NE.	SN.	TD.	TG	

EP 2001-988373 20031112 20011228 EP 1359910 Α1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2001-36856 US 2002119970 20020829 20011231 **A1** PRAI US 2000-259428P P 20001229 US 2001-296258P Ρ 20010606 WO 2001-US49834 W 20011228 MARPAT 137:93743 os GΙ

AB Provided is a method of decreasing intraocular pressure or improving ocular accommodation, comprising administration of I, II [J = O, S, NR'; Ra-b = H, acylamino, acyloxyalkyl, alkanoyl, alkenyl, alkoxy, etc.; R' = alkyl, alkenyl, H, Ar; Rc = oxo, H, alkyl, alkylthio, H, mercapto, amino, amino-alkyl, etc.]. For instance, 3,5-dimethylphenol was alkylated with bromoacetic acid (110°, 4 h) to yield (3,5-dimethylphenoxy)acetic acid which was coupled to 2-aminothiazole (CH2Cl2, EDCI, HOBt, NMM) to give 2-(3,5-Dimethylphenoxy)-N-(thiazol-2-yl)acetamide. The activity of example compds. in breaking, reversing or inhibiting the formation of advanced glycosylation end products (AGEs) or AGE-mediated cross-links was determined (no data).

ST glaucoma intraocular pressure accommodation thiazole prepn

IT Antiglaucoma agents Glaucoma (disease)

Human

(preparation of thiazole derivs. as antiglaucoma agents)
IT 302559-76-8P, 2-(3,5-Dimethylphenoxy)-N-(thiazol-2-yl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(antiglaucoma agent; preparation of thiazole derivs. as antiglaucoma agents)
IT 181070-25-7P, 2,6-Diaminobenzothiazole dihydrochloride
289491-05-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiglaucoma agents; preparation of thiazole derivs. as antiglaucoma agents)

IT 9001-03-0, Carbonic anhydrase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor; preparation of thiazole derivs. as antiglaucoma agents)

IT 73326-20-2P, 2-(2-Bromoacetamido)thiazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of thiazole derivs. as antiglaucoma agents)
T79-08-3, Bromoacetic acid 88-14-2, 2-Furoic acid 92-36-4,
2-(4-Aminophenyl)-6-methylbenzothiazole 96-50-4, 2-Aminothiazole
108-68-9, 3,5-Dimethylphenol 527-69-5, 2-Furoyl chloride 598-21-0,
Bromoacetyl bromide 6285-57-0, 2-Amino-6-nitrobenzothiazole
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of thiazole derivs. as antiglaucoma agents)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

(1) Thomspon; US 5718912 A 1998 HCAPLUS

IT 302559-76-8P, 2-(3,5-Dimethylphenoxy)-N-(thiazol-2-yl)acetamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiglaucoma agent; preparation of thiazole derivs. as antiglaucoma agents)

RN 302559-76-8 HCAPLUS

CN Acetamide, 2-(3,5-dimethylphenoxy)-N-2-thiazolyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & \text{NH} - C - CH_2 - O
\end{array}$$
Me
Me

IT 289491-05-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiglaucoma agents; preparation of thiazole derivs. as antiglaucoma agents)

RN 289491-05-0 HCAPLUS

CN 2-Furancarboxamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

L83 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:545486 HCAPLUS

DN 135:122497

ED Entered STN: 27 Jul 2001

TI Preparation of thiazole, imidazole, and oxazole compounds for treatment of disorders associated with protein aging.

IN Wagle, Dilip; Vasan, Sara; Egan, John J.

PA Alteon, Inc., USA

SO PCT Int. Appl., 79 pp. CODEN: PIXXD2

DT Patent

LA English

IC A61K031-42; A61K031-415; A61K031-425

CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2001052847 A1 20010726 WO 2001-US1799 20010119

WO 2001052847 C1 20020926

W: AE. AG. AL. AM. AT. AU. AZ. BA. BB. BG. BR. BY. BZ. CA. CH.

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,

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HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2001032864
                       A5
                            20010731
                                            AU 2001-32864
                                                             20010119
                            20020221
                       A1
                                            US 2001-766547
     US 2002022622
                                                             20010119 <--
     EP 1248615
                            20021016
                                            EP 2001-904934
                       A1
                                                             20010119
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004501863
                       T2
                            20040122
                                            JP 2001-552895
                                                             20010119
                                            US 2003-440896
     US 2003225146
                       A1
                            20031204
                                                             20030519
PRAI US 2000-176995P
                       P
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     US 2000-259107P
                       Ρ
                            20001229
     US 2000-259291P
                       P
                            20001229
     US 2001-259237P
                       Ρ
                            20010102
     US 2001-259239P
                       Ρ
                            20010102
     WO 2001-US1799
                       W
                            20010119
                       Р
     US 2001-296247P
                            20010606
     US 2001-38116
                       A1
                            20011231
     MARPAT 135:122497
os
GI
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$$R^1$$
  $N^n$   $R^3$ 

A method of treating diabetes, kidney damage, blood vessel damage, AB atherosclerosis, peripheral vascular disease, coronary heart disease, heart failure, hypertension, retinopathy, peripheral neuropathy, cataracts, arthritis, Alzheimer's disease, tissue damage caused by contact with reducing sugars, stroke, skin elasticity reduction, and of increasing red blood cell deformability comprises administration of title compds. [I; J = O, S, NR4; R1, R2 = H, acylamino, acyloxyalkyl, alkanoyl, alkanoylalkyl, alkenyl, alkoxy, alkoxycarbonyl, alkoxycarbonylalkyl, alkyl, alkylamino, allyl, amino, etc.; R1R2 = atoms to form a fused (substituted) aryl, cycloalkyl, heterocyclyl, or heteroaryl ring; R3 = O, H, alkyl, alkylthio, H, SH, amino, aminoalkyl, aminoaryl, etc.; R4 = alkyl, alkenyl, H, aryl; n = 0, 1] (no data). Thus, 2-amino-6-nitrobenzothiazole was hydrogenated in MeOH over Pd/C at 60 psi for 6.5 h at room temperature to give 2,6-diaminobenzothiazole dihydrochloride. ST thiazole imidazole oxazole prepn protein aging inhibitor;

thiazole imidazole oxazole prepn protein aging inhibitor;
diabetes treatment thiazole imidazole oxazole prep; kidney damage
treatment thiazole imidazole oxazole prep; blood vessel damage treatment
thiazole imidazole oxazole prep; atherosclerosis treatment thiazole
imidazole oxazole prep; retinopathy treatment thiazole imidazole oxazole
prep; arthritis treatment thiazole imidazole oxazole prep
IT Antiarteriosclerotics

(antiatherosclerotics; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging

IT Artery, disease (coronary, treatment; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging

IT Erythrocyte (deformability improvement; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging IT Heart, disease (failure, treatment; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging) IT Kidney, disease (injury, treatment; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging) IT Nerve, disease (peripheral neuropathy, treatment; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging) IT Blood vessel, disease (peripheral, treatment; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging TT Anti-Alzheimer's agents Antiarthritics Antidiabetic agents Antihypertensives (preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging) TΤ Carbohydrates, biological studies RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process) (reducing sugars, treatment of tissue damage due to contact with reducing sugars; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging) IT Eye, disease (retinopathy, treatment; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging IT Brain, disease (stroke, treatment; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging) TT Proteins, general, biological studies RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process) (treatment of aging; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging) Blood vessel TТ (treatment of blood vessel damage; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging) Diabetes mellitus IT (treatment of complications; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging IT Aging, animal (treatment of protein aging; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging) IT Skin (treatment of skin elasticity reduction; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging)

(treatment of tissue damage due to contact with reducing sugars; preparation

of thiazole, imidazole, and oxazole compds. for treatment of disorders

IT

Animal tissue

associated with protein aging)

IT Cataract

(treatment; preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging)

IT 5407-51-2P, 2,6-Diaminobenzothiazole 181070-25-7P **289491-05-0P 302559-76-8P** 

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein **aging**)

IT 88-14-2, 2-Furoic acid 92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole 96-50-4, 2-Aminothiazole 108-68-9, 3,5-Dimethylphenol 527-69-5, 2-Furoyl chloride 598-21-0, Bromoacetyl bromide 6285-57-0, 2-Amino-6-nitrobenzothiazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein **aging**)

IT 88-14-2, 2-Furoic acid 92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole 96-50-4, 2-Aminothiazole 108-68-9, 3,5-Dimethylphenol 527-69-5, 2-Furoyl chloride 598-21-0, Bromoacetyl bromide 6285-57-0, 2-Amino-6-nitrobenzothiazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

- (1) Cerami; US 5853703 A 1998 HCAPLUS
- (2) Chatterjee; WO 9941220 A1 1999 HCAPLUS
- (3) Lai, C; WO 9966924 A1 1999 HCAPLUS
- IT 289491-05-0P 302559-76-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazole, imidazole, and oxazole compds. for treatment of disorders associated with protein aging)

RN 289491-05-0 HCAPLUS

CN 2-Furancarboxamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 302559-76-8 HCAPLUS

CN Acetamide, 2-(3,5-dimethylphenoxy)-N-2-thiazolyl- (9CI) (CA INDEX NAME)

TT

Mental disorder

therapeutic use)

```
ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
L83
     2000:98234 HCAPLUS
AN
DN
     132:146649
ED
     Entered STN: 11 Feb 2000
     Sulfonamide derivatives for potentiating glutamate receptor function,
TI
     preparation thereof, pharmaceutical compositions, and therapeutic use
     Arnold, Macklin Brian; Bleisch, Thomas John; Ornstein, Paul Leslie; Smith,
IN
     Edward C.; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael
     Eli Lilly and Company, USA
PA
so
     PCT Int. Appl., 50 pp.
      CODEN: PIXXD2
DT
     Patent
     English
LA
      ICM A61K
IC
      1-11 (Pharmacology)
     Section cross-reference(s): 25, 27, 63
FAN.CNT 1
                         KIND
                                DATE
                                                  APPLICATION NO. DATE
     PATENT NO.
                          ----
                                                   -----
                                 _____
                                 20000210
                                                  WO 1999-US17018 19990728
PΙ
     WO 2000006083
                          A2
     WO 2000006083
                          A3
                                 20000713
              AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
               DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UJ, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
               MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                 20000210
                                                  CA 1999-2338994
                                                                       19990728
      CA 2338994
                          AA
     AU 9952356
                           Α1
                                 20000221
                                                   AU 1999-52356
                                                                       19990728
                                                   US 2001-744413
                                                                       20010123
     US 6500865
                           В1
                                 20021231
                                 19980731
PRAI US 1998-94970P
                           Ρ
                                 19990728
      WO 1999-US17018
                          W
os
     MARPAT 132:146649
      The invention provides sulfonamide derivs. useful for potentiating
AB
     glutamate receptor function in a mammal and therefore useful for treating
      a wide variety of conditions, e.g. psychiatric and neurol. disorders.
     Preparation of e.g. N-[4-(3-thienyl)-1-phenylethyl]-2-propanesulfonamide is
     described.
      sulfonamide deriv prepn glutamate receptor therapeutic; propanesulfonamide
ST
     deriv prepn glutamate receptor therapeutic; psychiatric neurol disorder
      sulfonamide deriv prepn
IT
     Aging, animal
         (age-related dementia and memory impairment; sulfonamide derivs. for
         potentiating glutamate receptor function, preparation, pharmaceutical
         compns., and therapeutic use)
```

(attention deficit disorder; sulfonamide derivs. for potentiating glutamate receptor function, preparation, pharmaceutical compns., and

120157-97-3P

```
IT
     Mental disorder
        (attention deficit hyperactivity disorder; sulfonamide derivs. for
        potentiating glutamate receptor function, preparation, pharmaceutical
        compns., and therapeutic use)
IT
     Drug delivery systems
        (capsules; sulfonamide derivs. for potentiating glutamate receptor
        function, preparation, pharmaceutical compns., and therapeutic use)
ΙT
     Nervous system
        (degeneration; sulfonamide derivs. for potentiating glutamate receptor
        function, preparation, pharmaceutical compns., and therapeutic use)
IT
     Mental disorder
        (dementia, age-related; sulfonamide derivs. for potentiating glutamate
        receptor function, preparation, pharmaceutical compns., and therapeutic use)
ΙT
     Drugs
        (drug-induced psychosis; sulfonamide derivs. for potentiating glutamate
        receptor function, preparation, pharmaceutical compns., and therapeutic use)
IT
     Mental disorder
        (psychosis, cognitive deficit associated with; sulfonamide derivs. for
        potentiating glutamate receptor function, preparation, pharmaceutical
        compns., and therapeutic use)
IT
     Antidepressants
     Antipsychotics
     Cognition enhancers
     Drug delivery systems
     Movement disorders
     Nervous system agents
        (sulfonamide derivs. for potentiating glutamate receptor function,
        preparation, pharmaceutical compns., and therapeutic use)
TΤ
     Glutamate receptors
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (sulfonamide derivs. for potentiating glutamate receptor function,
        preparation, pharmaceutical compns., and therapeutic use)
IT
     Drug delivery systems
        (tablets; sulfonamide derivs. for potentiating glutamate receptor
     function, preparation, pharmaceutical compns., and therapeutic use) 14062-25-0P, Ethyl 4-bromophenylacetate 73918-56-6P,
IT
     2-(4-Bromophenyl)ethylamine
                                    131818-17-2P
                                                   133778-10-6P
                                                                   211315-18-3P
     211315-19-4P
                    211315-20-7P
                                    256381-10-9P
                                                   257604-10-7P
                                                                   257604-11-8P
     257604-12-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation and reaction; sulfonamide derivs. for potentiating glutamate
        receptor function, preparation, pharmaceutical compns., and therapeutic use)
     106-40-1, 4-Bromoaniline 288-47-1, Thiazole 298-
IT
                                124-63-0, Methanesulfonyl chloride
                         298-12-4, Glyoxylic acid
                                                      623-00-7,
                                                          1072-85-1,
     4-Bromobenzonitrile
                            813-19-4, Bis(tributyltin)
     2-Fluorobromobenzene
                             1562-34-1
                                         1878-68-8, 4-Bromophenylacetic acid
                5419-55-6, Triisopropyl borate
                                                  6165-69-1,
     Thiophene-3-boronic acid
                                10147-37-2, Isopropylsulfonyl chloride
     22627-70-9, 3-Ethoxy-2-cyclopenten-1-one 24424-99-5, Di-tert-butyl
     dicarbonate
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction; sulfonamide derivs. for potentiating glutamate receptor
        function, preparation, pharmaceutical compns., and therapeutic use)
TΤ
     257604-08-3P
                    257604-09-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (sulfonamide derivs. for potentiating glutamate receptor function,
        preparation, pharmaceutical compns., and therapeutic use)
IT
     1765-93-1P, 4-Fluorobenzeneboronic acid 74213-24-4P, Dibromoformaldoxime
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86108-58-9P, 2-Trimethylstannylthiazole 112080-39-4P

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126747-14-6P, 4-Cyanophenylboronic acid
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     RL: SPN (Synthetic preparation); PREP (Preparation)
        (sulfonamide derivs. for potentiating glutamate receptor function,
        preparation, pharmaceutical compns., and therapeutic use)
IT
     288-47-1, Thiazole
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction; sulfonamide derivs. for potentiating glutamate receptor
        function, preparation, pharmaceutical compns., and therapeutic use)
     288-47-1 HCAPLUS
RN
     Thiazole (6CI, 8CI, 9CI) (CA INDEX NAME)
CN
    ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
L83
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AN
      1999:25966 HCAPLUS
DN
      130:100661
      Entered STN: 13 Jan 1999
ED
      Thiazolium compounds for preventing and reversing the formation of
ΤI
      advanced glycosylation endproducts
      Cerami, Anthony; Ulrich, Peter C.; Wagle, Dilip R.; Hwang,
IN
      San-Bao; Vasan, Sara; Egan, John J.
PA
      The Picower Institute for Medical Research, USA; Alteon Inc.
      U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 473,104, abandoned.
SO
      CODEN: USXXAM
DT
      Patent
LA
      English
      ICM A61K031-38
IC
      ICS C07D277-24
NCL
      424053000
CC
      63-6 (Pharmaceuticals)
      Section cross-reference(s): 1, 17, 28, 62
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      PATENT NO.
                          KIND DATE
                                                   APPLICATION NO.
                                                                       DATE
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AT 245420

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FI 9703031

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OS MARPAT 130:100661

The present invention relates to compns. and methods for inhibiting and reversing nonenzymic crosslinking (protein aging). Accordingly, compns. are disclosed which comprise an agent capable of inhibiting the formation of advanced glycosylation endproducts of target proteins, and which addnl. reverse pre-formed crosslinks in the advanced glycosylation endproducts by cleaving alpha-dicarbonyl-based protein crosslinks present in the advanced glycosylation endproducts. Certain agents useful are thiazolium salts. The method comprises contacting the target protein with the composition Both industrial and therapeutic applications for the invention are envisioned, as food spoilage and animal protein aging can be treated. A novel immunoassay for detection of the reversal of the nonenzymic crosslinking is also disclosed.

ST thiazolium deriv advanced glycosylation endproduct inhibitor; dentifrice thiazolium deriv advanced glycosylation endproduct inhibitor; food preservative thiazolium deriv advanced glycosylation endproduct inhibitor; aging inhibitor thiazolium deriv advanced glycosylation endproduct inhibitor

IT Glycoproteins, specific or class

RL: ADV (Adverse effect, including toxicity); BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process) (AGE (advanced glycosylation end product); thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts)

IT Immunoglobulins

IT

ΤT

IT

IT

RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); BIOL (Biological study); OCCU (Occurrence); PROC (Process)

(G, erythrocyte-linked, decrease of; thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts)
Erythrocyte

(IgG crosslinked to, decrease of; thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts)

IT Proteins, general, biological studies

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(aging of, prevention of; thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts)

(discoloration of, prevention of; thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts)

Diabetes mellitus

(glucose crosslinking in; thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts) Collagens, biological studies

RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process);

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RE

(1) Anon; DE 2323465 1973 HCAPLUS

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BIOL (Biological study); OCCU (Occurrence); PROC (Process)
        (glucose crosslinking of, decrease of; thiazolium compds. for
        preventing and reversing the formation of advanced glycosylation
        endproducts)
     Crosslinking
        (reversal of; thiazolium compds. for preventing and reversing the
        formation of advanced glycosylation endproducts)
     Albumins, processes
     RL: PEP (Physical, engineering or chemical process); PROC (Process)
        (serum, crosslinking of, reversal of; thiazolium compds. for preventing
        and reversing the formation of advanced glycosylation endproducts)
     Drug delivery systems
        (tablets; thiazolium compds. for preventing and reversing the formation
        of advanced glycosylation endproducts)
     Aging, animal
     Dentifrices
     Food preservation
     Glycosylation
     Maillard reaction
        (thiazolium compds. for preventing and reversing the formation of
        advanced glycosylation endproducts)
                                                          7478-09-3P
     4568-71-2P
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                              6274-00-6P
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        (thiazolium compds. for preventing and reversing the formation of
        advanced glycosylation endproducts)
     181070-72-4P
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        (thiazolium compds. for preventing and reversing the formation of
        advanced glycosylation endproducts)
     96-32-2, Methyl bromoacetate 288-47-1, Thiazole
                                                       579-07-7,
     1-Phenyl-1,2-propanedione 3581-91-7, 4,5-Dimethyl thiazole
                                                                     36016-40-7,
     o-Mesitylenesulfonylhydroxylamine
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (thiazolium compds. for preventing and reversing the formation of
        advanced glycosylation endproducts)
RE.CNT
              THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
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- (29) Oimomi; Agric Biol Chem 1989, V53, P1727 HCAPLUS
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- (36) Tsuge; Chem Lett 1982, V5, P711
- (37) Ulrich; US 5108930 1992 HCAPLUS
- (38) Ulrich; US 5262152 1993 HCAPLUS
- (39) Ulrich; Modern Aging Res 1985, V7, P83 HCAPLUS
- (40) Voller; Enzyme Immunoassays Alternative Immunoassays 1985, P77
- 288-47-1, Thiazole
  - RL: RCT (Reactant); RACT (Reactant or reagent) (thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts)
- RN 288-47-1 HCAPLUS
- CN Thiazole (6CI, 8CI, 9CI) (CA INDEX NAME)



- ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN L83
- ΑN 1996:560531 HCAPLUS
- DN 125:204548
- ED Entered STN: 20 Sep 1996
- TI Use of thiazolium compounds for preventing and reversing the formation of advanced glycosylation endproducts
- Cerami, Anthony; Ulrich, Peter C.; Wagle, Dilip R.; Hwang, ΙN San-bao; Vasan, Sara; Egan, John J.
- Alteon Inc., USA; The Picower Institute for Medical Research PA
- PCT Int. Appl., 78 pp. SO

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CODEN: PIXXD2
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     English
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     ICM A61K031-425
CC
     63-6 (Pharmaceuticals)
     Section cross-reference(s): 17, 28, 62
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                                         APPLICATION NO. DATE
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     Compns. and methods for inhibiting and reversing nonenzymic crosslinking
     (protein aging) are disclosed. Accordingly, compositions are
     disclosed which comprise an agent capable of inhibiting the formation of
     advanced glycosylation endproducts of target proteins (such as thiazolium
     salts), and which addnl. reverse pre-formed crosslinks in the advanced
     glycosylation endproducts by cleaving \alpha-dicarbonyl-based protein
     crosslinks present in the advanced glycosylation endproducts. Both
     industrial and therapeutic applications for the invention are envisioned,
     as food spoilage and animal protein aging can be treated.
     novel immunoassay for detection of the reversal of the nonenzymic
     crosslinking is also disclosed. Thiazole 850 mg, Me bromoacetate 1.52 mg,
     and absolute ethanol 50 mL were refluxed for 2 h, then cooled and the salt
     separated and recrystd. to obtain 3-(2-methoxy-2-oxoethyl)-thiazolium bromide
     (I). A lotion contained I 1.0, ethanol 200.0, PEG-400 300.0,
     hydroxypropyl cellulose 5.0 mg, and propylene glycol q.s. 1.0 g.
st
     thiazolium deriv advanced glycosylation endproduct prevention; lotion
     thiazolium bromide deriv protein aging
IT
     Proteins, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (aging; use of thiazolium compds. for preventing and
        reversing the formation of advanced glycosylation endproducts)
IT
    Dentifrices
    Mouthwashes
        (use of thiazolium compds. for preventing and reversing the formation
        of advanced glycosylation endproducts)
IT
    Antibodies
    RL: BSU (Biological study, unclassified); BIOL (Biological study)
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(use of thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts) IT Glycoproteins, specific or class RL: BSU (Biological study, unclassified); BIOL (Biological study) (AGE (advanced glycosylation end product), use of thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts) Pharmaceutical dosage forms IT (lotions, use of thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts) IT Pharmaceutical dosage forms (tablets, use of thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts) IT 4568-71-2P 5304-34-7P 6274-00-6P 7467-00-7P 7478-09-3P 16311-69-6P 52197-73-6P 53995-67-8P 54016-70-5P 57132-40-8P 57168-49-7P 57168-62-4P 61544-06-7P 74360-51-3P 74385-09-4P 87910-71-2P 97380-14-8P 121704-45-8P 132416-79-6P 138404-41-8P 159356-41-9P 181069-78-3P 181069-79-4P 181069-80-7P 181069-81-8P 181069-82-9P 181069-83-0P 181069-84-1P 181069-85-2P 181069-86-3P 181069-89-6P 181069-90-9P 181069-91-0P 181069-92-1P 181069-93-2P 181069-95-4P 181069-96-5P 181069-98-7P 181069-99-8P 181070-00-8P 181070-03-1P 181070-04-2P 181070-05-3P 181070-06-4P 181070-07-5P 181070-08-6P 181070-09-7P 181070-10-0P 181070-11-1P 181070-12-2P 181070-13-3P 181070-14-4P 181070-15-5P 181070-16-6P 181070-18-8P 181070-22-4P 181070-24-6P 181070-25-7P 181070-26-8P 181070-27-9P 181070-28-0P 181070-29-1P 181070-30-4P 181070-31-5P 181070-33-7P 181070-35-9P 181070-36-0P 181070-37-1P 181070-38-2P 181070-39-3P 181070-40-6P 181070-41-7P 181070-43-9P 181070-44-0P 181070-46-2P 181070-48-4P 181070-49-5P 181070-50-8P 181070-51-9P 181070-52-0P 181070-53-1P 181070-54-2P 181070-55-3P 181070-56-4P 181070-57-5P 181070-58-6P 181070-59-7P 181070-60-0P 181070-61-1P 181070-62-2P 181070-63-3P 181070-64-4P 181070-65-5P 181070-66-6P 181070-67-7P 181070-68-8P 181070-69-9P 181070-70-2P 181070-71-3P 181070-72-4P 181070-74-6P 181147-74-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (use of thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts) IT 96-32-2, Methyl bromoacetate **288-47-1**, Thiazole 3581-91-7, 4,5-Dimethylthiazole 58042-39-0 RL: RCT (Reactant); RACT (Reactant or reagent) (use of thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts) IT 288-47-1, Thiazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(use of thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts)

RN288-47-1 HCAPLUS

CNThiazole (6CI, 8CI, 9CI) (CA INDEX NAME)

